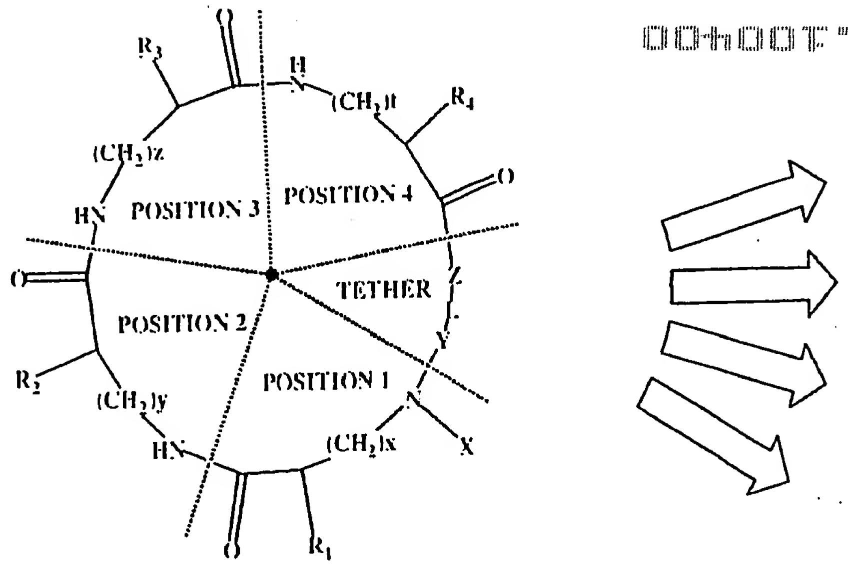
00400T" TEE6Z960

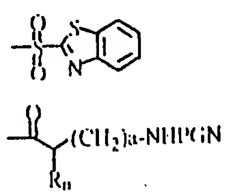
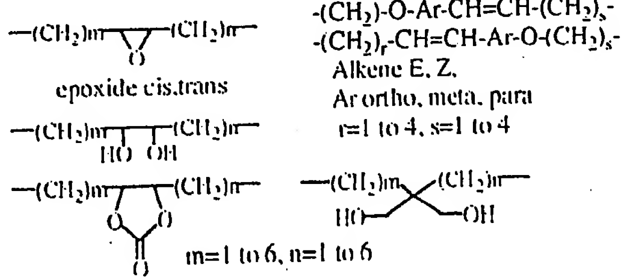
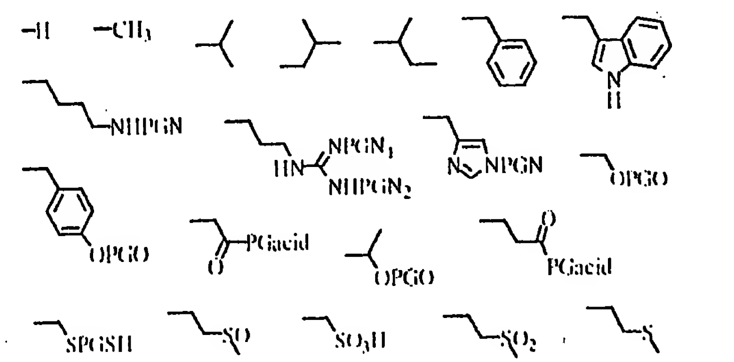
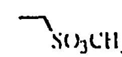


FAMILY 1: see table

FAMILY 2: like family 1 with position 4 empty

FAMILY 3: like family 1 with positions 3 and 4 empty

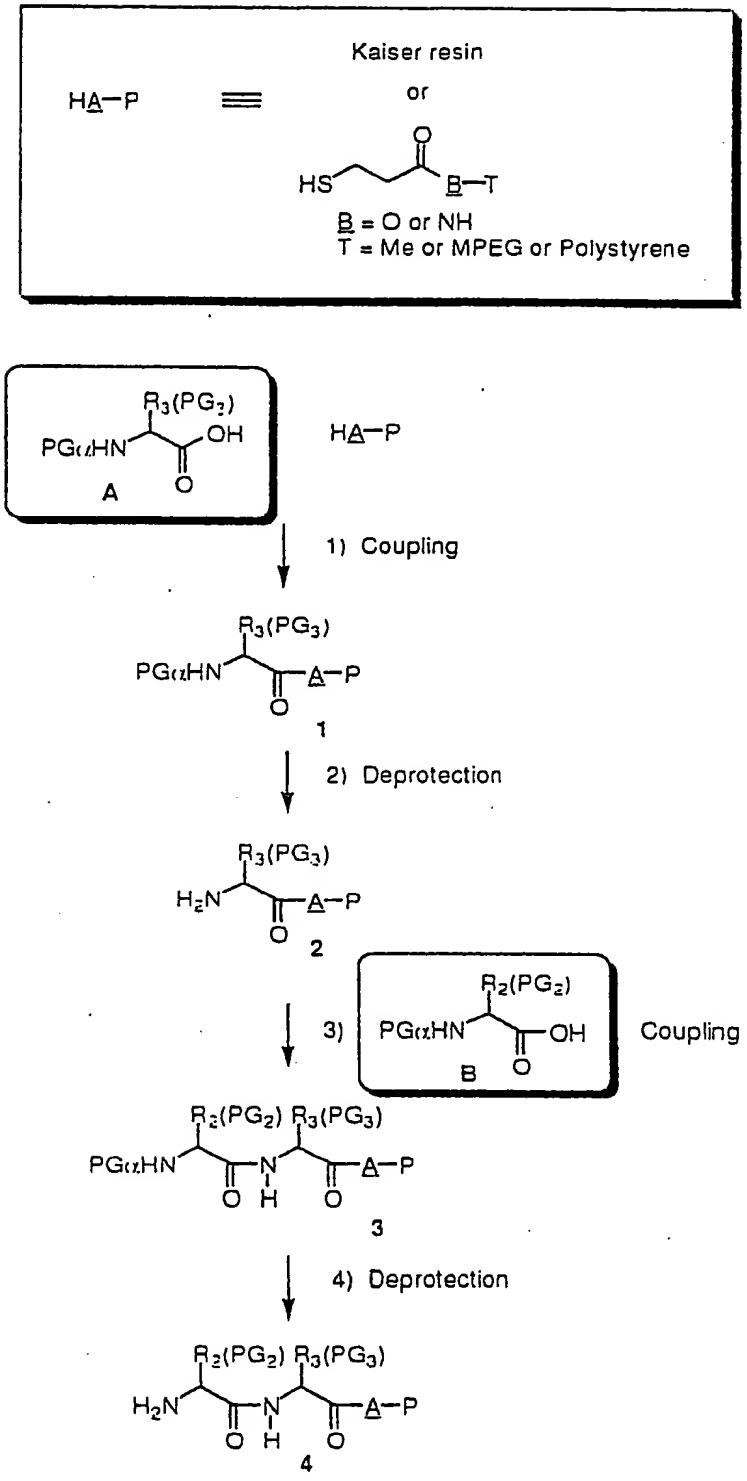
FAMILY 4: like family 1 with positions 2, 3 and 4 empty

X	Y	Z	L	x y z t (a)	R_0 R_1 R_2 R_3 R_4
$-SO_2-Ar$ $-SO_2-CH_3$ $-SO_2-CF_3$ -H -COH $-CO-CH_3$ -CO-Ar -CO-NHR -CO-NHAr -CO-O-tBu -CO-O-Bn 	$-CH_2-$ -CO-	-NH- -O-	$-(CH_2)_i-$ i=1 to 9 $-(CH_2)_m-Ar-(CH_2)_n-$ $-(CH_2)_m-O-Ar-(CH_2)_n$ $-(CH_2)_m-Ar-O-(CH_2)_n-$ Ar ortho, meta, para $-(CH_2)_m-CH=CH-(CH_2)_n-$ Alkene E,Z $-(CH_2)_m-C{\equiv}C-(CH_2)_n-$ $-(CH_2)_r-Ar-CH=CH-(CH_2)_s-$ $-(CH_2)_r-CH=CH-Ar-(CH_2)_s-$ $-(CH_2)-O-Ar-CH=CH-(CH_2)_s-$ $-(CH_2)_r-CH=CH-Ar-O-(CH_2)_s-$ Alkene E, Z, Ar ortho, meta, para r=1 to 4, s=1 to 4  epoxide cis,trans m=1 to 6, n=1 to 6	0 1 2	 Proline and 4 Hydroxyproline can be used at positions 0,2,3 (also at position 1 when X=CO)  PGO= -H, -COH, $-CO-CH_3$, -CO-Ar, CO-tBu PGacid= -OH, $-NH_2$, $-OCH_3$, $-NHCH_3$, -O-tBu, -O-Bn

PGN= -H, $-SO_2-CH_3$, $-SO_2-CF_3$, -COH, $-CO-CH_3$, -CO-Ar, -CO-NHR, -CO-NHAR, -CO-O-tBu, -CO-O-Bn, -CO-O-R PGS= -H, -tBu, $-CO-CH_3$, -CO-Ar, -COH

Ar, alkenes and CH_2s in AA (Amino-Acid), PGN, PGO, PGacid and PGS can bear groups amongst : $-O-CH_3$, $-CH_3$, $-NO_2$, $-NH_2$, $-NH-CH_3$, $-N(CH_3)_2$, -CO-OH, $-CO-O-CH_3$, $-CO-CH_3$, $-CO-NH_2$, OH, F, Cl, Br, I.

FIGURE 1



A = Sp

FIGURE 2a

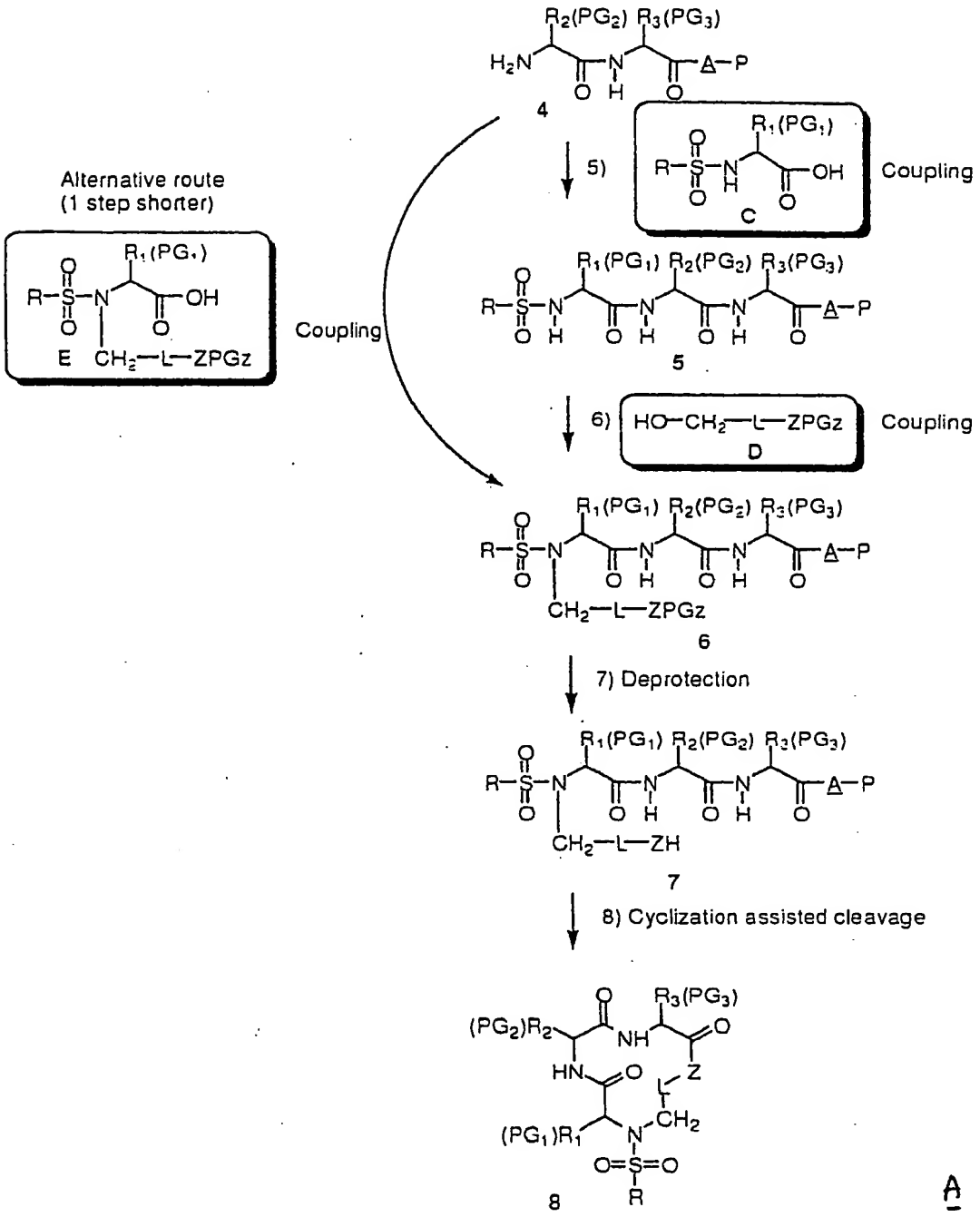

Alternative route
(1 step shorter)
E
Coupling
4
5)
C
Coupling
5
6)
D
Coupling
6
7) Deprotection
7
8) Cyclization assisted cleavage
8
A = Sp

FIGURE 2b

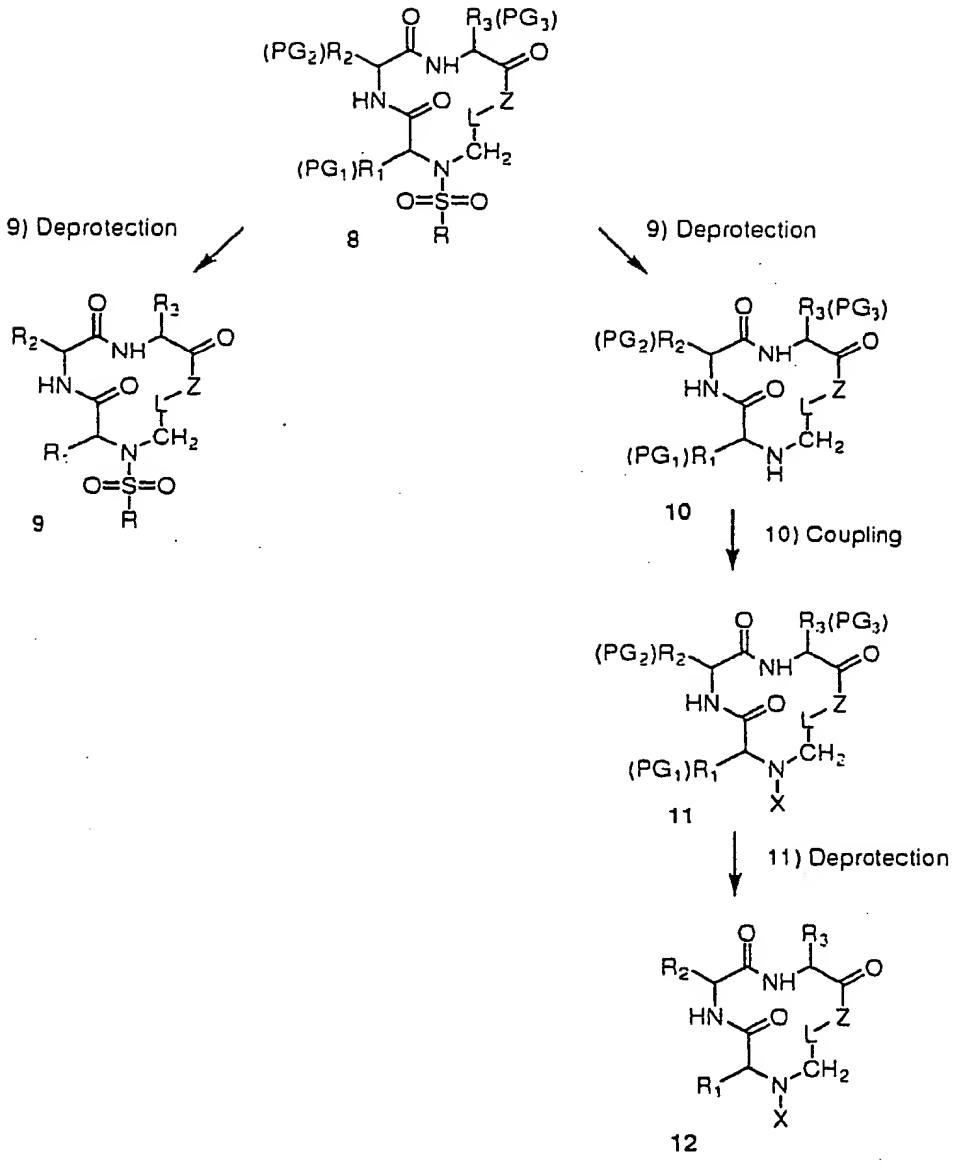
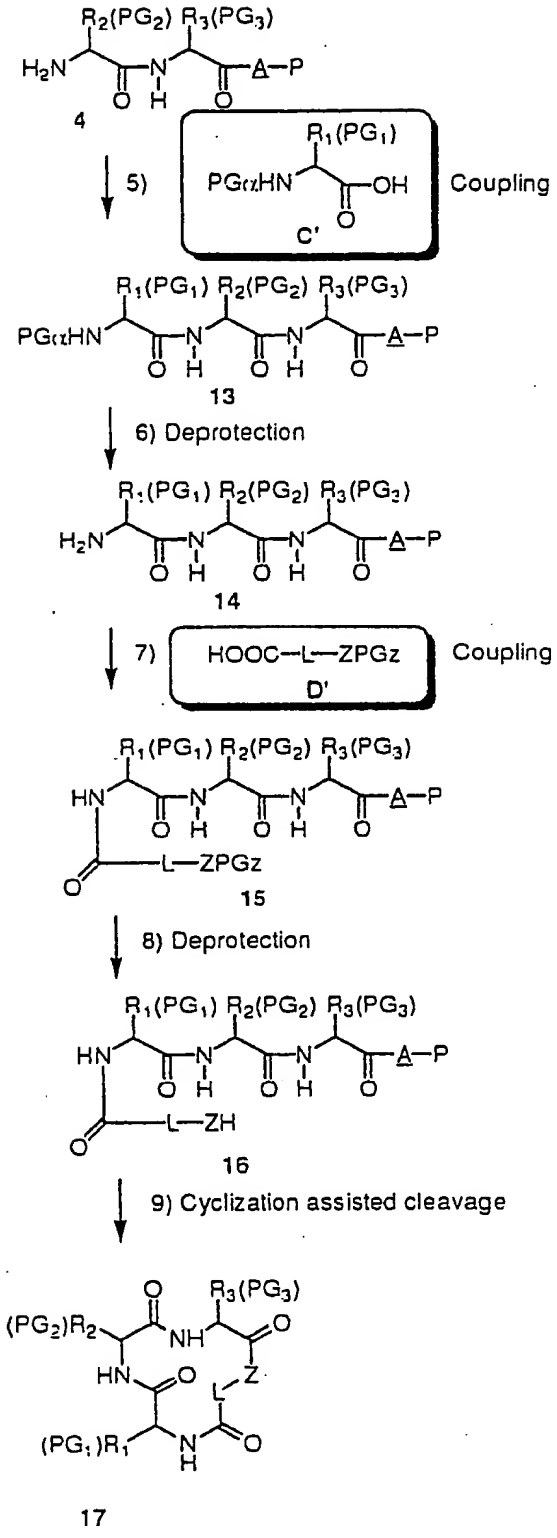
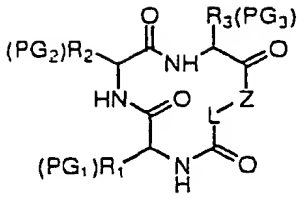

9) Deprotection
8
9) Deprotection
9
10
10) Coupling
11
11) Deprotection
12

FIGURE 3



A = Sp

FIGURE 4a



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10) Deprotection

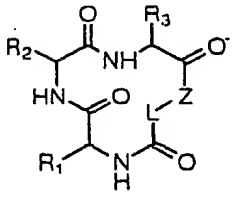

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FIGURE 4b